ANSWER 27 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN

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DOCUMENT NUMBER: 137:169502

TITLE: Preparation and antiviral activity for HIV-1 of

substituted azaindoleoxoacetylpiperazines

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PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 367 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PA'	PATENT NO.					KIND		DATE		APPLICATION NO.					DATE			
WO	WO 2002062423				A1		20020815		WO 2002-US455						20020102			
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB	B, BG	, BR,	BY,	BZ,	CA,	CH,	CN,	
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EP	1363705				A1 20031126			EP 2002-707413						20020102				
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		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL	, TR							
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ZA	ZA 2003005885				A		2004	1101		ZA	2003	-5885			2	0030	730	
NO	NO 2003003436				Α		2003	1001	]	NO	2003	-3436			2	0030	801	
PRIORIT	IORITY APPLN. INFO.:								1	US	2001	-2661	83P		P 2	0010	202	
									1	US	2001	-3144	06P		P 2	0010	823	
									1	WO	2002	-US45	5	1	₩ 2	0020	102	
EP EE BR NZ JP CN BG ZA NO	1363705 R: AT, BE, CH, IE, SI, LT, 200300359 2002006636 527193 2004522755 1612763 108021 2003005885 2003003436			AA A1 DE, LV, A A T2 A A	DK, FI,	2002 2003 ES, RO, 2003 2004 2004 2005 2004 2004	0815 1126 FR, MK, 1215 0225 0528 0729 0504 0430 1101	GB, CY,	CA EP GR AL EE BR NZ JP CN BG ZA NO US	2002 2002 2, IT 2003 2002 2002 2002 2002 2003 2003 200	-2437 -7074 , LI, -359 -6636 -5271 -5624 -8078 -1080 -5885 -3436 -2661 -3144	524 13 LU, 93 28 26 21 83P 06P	NL,	2 SE, 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2	0020 0020 MC, 0020 0020 0020 0020 0030 0030 0030 0010	102 PT 102 102 102 102 722 730 801 202 823		

OTHER SOURCE(S): MARPAT 137:169502

GΙ

AB Title compds. Q(CO)nWCOA [Q = (un)substituted azaindolyl; W = (un)substituted piperazino; A = (un)substituted alkoxy, aryl, heteroaryl;

Ι

n = 1, 2] were prepared for use as antiviral agents, alone or in combination with other antivirals, antiinfectives, immunomodulators or HIV entry inhibitors, in the treatment of HIV and AIDS. Thus, 2-chloro-3-nitropyridine was cyclized with vinylmagnesium bromide to give 7-chloro-6-azaindole which was treated with ClCOCO2Me, followed by ester hydrolysis, amidation with (R)-3-methyl-1-benzoylpiperazine, and substitution with 4-FC6H4B(OH)2 to give the title compound I which had an EC50 for HIV-1 in vitro of <1  $\mu M$ .

IT 446289-04-9P 446290-82-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and antiviral activity for HIV-1 of substituted azaindoleoxoacetylpiperazines)

RN 446289-04-9 CAPLUS

CN Piperazine, 4-benzoyl-1-[[5-chloro-7-(5-oxazolyl)-1H-pyrrolo[3,2-b]pyridin-3-yl]oxoacetyl]-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 446290-82-0 CAPLUS

CN Piperazine, 4-benzoyl-2-methyl-1-[oxo[7-(2-thienylcarbonyl)-1H-pyrrolo[3,2-b]pyridin-3-yl]acetyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT